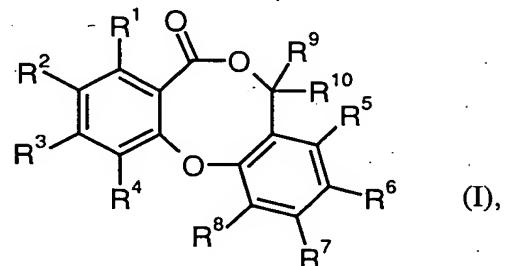


Patent Claims

1. Use of compounds of the general formula (I)

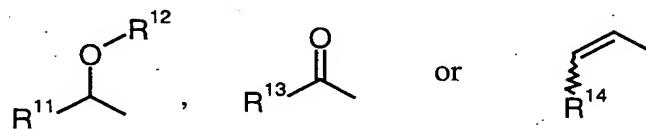


5

in which

10 R^1 represents hydrogen, halogen, cyano, (C_1 - C_4)-alkyl, (C_1 - C_4)-alkoxy, mono- or di- $(C_1$ - C_4)-alkylamino, trifluoromethyl, trifluoromethoxy, hydroxy, vinyl or ethynyl,

15 R^2 represents a group of the formula



15

where

20 R^{11} represents (C_1 - C_6)-alkyl or (C_2 - C_6)-alkenyl, each of which may be mono- or polysubstituted by substituents selected from the group consisting of (C_3 - C_6)-cycloalkyl, phenyl, (C_1 - C_4)-alkoxy and fluorine, or represents (C_6 - C_{10})-aryl which may be mono- or disubstituted by identical or different substituents from the group consisting of halogen, (C_1 - C_4)-alkyl, (C_1 - C_4)-alkoxy, trifluoromethyl and trifluoromethoxy,

R^{12} represents hydrogen or formyl,

R^{13} and R^{14} each represent (C_1 - C_6)-alkyl,

5

R^3 and R^4 independently of one another represent hydrogen, halogen, trifluoromethyl, trifluoromethoxy, (C_1 - C_4)-alkyl, (C_1 - C_4)-alkoxy, (C_2 - C_4)-alkenyl or (C_3 - C_6)-cycloalkyl,

10

R^5 , R^6 and R^7 independently of one another represent hydrogen, halogen, cyano, nitro, hydroxy, trifluoromethoxy, formyl, (C_1 - C_4)-alkoxy, (C_2 - C_4)-alkenyl, (C_3 - C_6)-cycloalkyl or represent (C_1 - C_4)-alkyl which may be substituted by hydroxy, trifluoromethoxy, (C_1 - C_4)-alkoxy or up to three times by fluorine,

15

R^8 represents (C_1 - C_8)-alkyl, (C_2 - C_8)-alkenyl or (C_2 - C_8)-alkynyl, each of which may be substituted by (C_3 - C_8)-cycloalkyl, (C_1 - C_4)-alkoxy, pyrrolyl, imidazolyl, triazolyl, tetrazolyl or phenyl which for its part is optionally substituted by (C_1 - C_4)-alkyl,

20

represents (C_6 - C_{10})-aryl which may be mono- or disubstituted by identical or different substituents from the group consisting of halogen, (C_1 - C_4)-alkyl, (C_1 - C_4)-alkoxy, trifluoromethyl, trifluoromethoxy, cyano and nitro,

25

represents (C_1 - C_8)-alkoxy or (C_2 - C_8)-alkenyloxy, each of which may be substituted by (C_3 - C_8)-cycloalkyl, (C_3 - C_8)-cycloalkenyl or phenyl, (which for its part is optionally substituted by halogen, nitro or cyano) or up to five times by fluorine and/or chlorine,

30

represents (C₃-C₈)-cycloalkoxy or represents (C₆-C₁₀)-aryloxy which may be substituted by halogen, nitro or cyano,

5 represents mono- or di-(C₁-C₈)-alkylamino, (C₁-C₈)-alkylsulphonylamino or N-[(C₁-C₈)-alkyl]-(C₁-C₈)-alkylsulphonylamino,

or

10 represents a group of the formula -O-SO₂-R¹⁵, -O-C(O)-R¹⁶, -O-C(O)-NR¹⁷R¹⁸, -C(O)-OR¹⁹, -NR²⁰-C(O)-R²¹ or -NR²²-C(O)-NR²³R²⁴, where

15 R¹⁵ represents (C₁-C₈)-alkyl which may be substituted up to five times by fluorine, represents (C₃-C₈)-cycloalkyl or represents phenyl which may be substituted by halogen or (C₁-C₄)-alkyl,

20 R¹⁶ represents (C₁-C₁₀)-alkyl which may be substituted by phenyl or phenoxy (which for their part may each be mono- or disubstituted by halogen), by (C₃-C₈)-cycloalkyl, (C₃-C₈)-cycloalkenyl, (C₁-C₆)-alkoxy, (C₁-C₆)-alkylthio, (C₂-C₆)-alkenylthio or up to six times by fluorine,

25 represents (C₃-C₁₂)-cycloalkyl which may be mono- or polysubstituted by substituents selected from the group consisting of phenyl, (C₂-C₆)-alkenyl, trifluoromethyl, (C₁-C₆)-alkyl, cyano and fluorine, where phenyl for its part may be mono- or disubstituted by identical or different substituents from the group consisting of halogen, (C₁-C₄)-alkyl and (C₁-C₄)-alkoxy,

30

represents (C₃-C₁₂)-cycloalkenyl which may be substituted up to three times by (C₁-C₄)-alkyl, trifluoromethyl or fluorine,

5 represents a 5- to 7-membered mono- or bicyclic saturated or partially unsaturated heterocycle which has up to two heteroatoms from the group consisting of N, O and S and which may be substituted up to two times by (C₁-C₄)-alkyl,

or

10

represents (C₆-C₁₀)-aryl which may be mono- or disubstituted by identical or different substituents from the group consisting of halogen, nitro, cyano, trifluoromethyl, trifluoromethoxy, (C₁-C₄)-alkyl and (C₁-C₄)-alkoxy,

15

R¹⁷ and R¹⁸ independently of one another represent hydrogen, (C₁-C₆)-alkyl which may be substituted by (C₁-C₄)-alkoxycarbonyl or up to three times by fluorine, represent (C₂-C₆)-alkenyl, (C₃-C₈)-cycloalkyl, (C₁-C₄)-alkylsulphonyl or represent phenyl which may be mono- or disubstituted by identical or different substituents from the group consisting of halogen and trifluoromethyl,

or

25

together with the nitrogen atom to which they are attached form a 4- to 12-membered mono-, bi- or tricyclic saturated or partially unsaturated heterocycle which may contain up to two further heteroatoms from the group consisting of N, O and S and which may be substituted by phenyl or up to four times by (C₁-C₄)-alkyl,

30

5 R¹⁹ represents (C₁-C₆)-alkyl which may be substituted by (C₃-C₈)-cycloalkyl, represents (C₃-C₁₀)-cycloalkyl which may be substituted up to two times by (C₁-C₄)-alkyl or represents (C₂-C₆)-alkenyl,

10 R²⁰ represents hydrogen or (C₁-C₆)-alkyl,

15 R²¹ represents (C₁-C₈)-alkoxy, (C₁-C₈)-alkyl, (C₆-C₁₀)-aryl or represents (C₃-C₁₀)-cycloalkyl which may be substituted up to two times by (C₁-C₄)-alkyl,

20 R²² represents hydrogen or (C₁-C₆)-alkyl,

25 and

30 R²³ and R²⁴ independently of one another represent hydrogen, (C₁-C₆)-alkyl or (C₃-C₁₀)-cycloalkyl,

35 and

40 R⁹ and R¹⁰ independently of one another represent hydrogen or (C₁-C₄)-alkyl,

45 and their pharmaceutically acceptable salts, solvates and solvates of the salts,

50 for the treatment and/or prevention of disorders controlled by inhibition of the cholesterol ester transfer protein (CETP).

55 2. Use of compounds of the formula (I), as defined in Claim 1 for preparing medicaments for the treatment and/or prevention of disorders controlled by inhibition of the cholesterol ester transfer protein (CETP).

3. Compounds of the formula (I) as defined in Claim 1 for the treatment and/or prevention of disorders controlled by inhibition of the cholesterol ester transfer protein (CETP).

5

4. Use according to Claim 1 or 2 for the treatment and/or prevention of cardiovascular disorders.

10 5. Use according to Claim 1 for the treatment and/or prevention of hypolipoproteinaemia, dyslipidaemias, hypertriglyceridaemias, hyperlipidaemias and/or arteriosclerosis.

6. Compounds of the formula (I) as defined in Claim 1 in which

15 R^8 represents a group of the formula $-O-C(O)-R^{16}$ where

20 R^{16} represents (C_1-C_{10}) -alkyl which may be substituted by phenyl or phenoxy (which for their part may each be mono- or disubstituted by halogen), by (C_3-C_8) -cycloalkyl, (C_3-C_8) -cycloalkenyl, (C_1-C_6) -alkoxy, (C_1-C_6) -alkylthio, (C_2-C_6) -alkenylthio or up to six times by fluorine,

25 represents (C_3-C_{12}) -cycloalkyl which may be mono- or polysubstituted by substituents selected from the group consisting of phenyl, (C_2-C_6) -alkenyl, trifluoromethyl, (C_1-C_6) -alkyl, cyano and fluorine, where phenyl for its part may be mono- or disubstituted by identical or different substituents from the group consisting of halogen, (C_1-C_4) -alkyl and (C_1-C_4) -alkoxy,

30

represents (C₃-C₁₂)-cycloalkenyl which may be substituted up to three times by (C₁-C₄)-alkyl, trifluoromethyl or fluorine,

5

represents a 5- to 7-membered mono- or bicyclic saturated or partially unsaturated heterocycle which has up to two heteroatoms from the group consisting of N, O and S and which may be substituted up to two times by (C₁-C₄)-alkyl,

or

10

represents (C₆-C₁₀)-aryl which may be mono- or disubstituted by identical or different substituents from the group consisting of halogen, nitro, cyano, trifluoromethyl, trifluoromethoxy, (C₁-C₄)-alkyl and (C₁-C₄)-alkoxy,

15

and R¹, R², R³, R⁴, R⁵, R⁶, R⁷, R⁹ and R¹⁰ are each as defined in Claim 1.

7. Compounds of the general formula (I) as defined in Claim 1 in which

20

R⁸ represents a group of the formula -O-C(O)-NR¹⁷R¹⁸ where

25

R¹⁷ and R¹⁸ independently of one another represent hydrogen, (C₁-C₆)-alkyl which may be substituted by (C₁-C₄)-alkoxycarbonyl or up to three times by fluorine, represent (C₂-C₆)-alkenyl, (C₃-C₈)-cycloalkyl, (C₁-C₄)-alkylsulphonyl or represent phenyl which may be mono- or disubstituted by identical or different substituents from the group consisting of halogen and trifluoromethyl

30

or

5

together with the nitrogen atom to which they are attached form a 4- to 12-membered mono-, bi- or tricyclic saturated or partially unsaturated heterocycle which may contain up to two further heteroatoms from the group consisting of N, O and S and which may be substituted by phenyl or up to four times by (C₁-C₄)-alkyl,

and R¹, R², R³, R⁴, R⁵, R⁶, R⁷, R⁹ and R¹⁰ are each as defined in Claim 1.

10

8. Compounds of the formula (I) as defined in Claim 1 in which

R⁸ represents a group of the formula -C(O)-OR¹⁹ where

15

R¹⁹ represents (C₁-C₆)-alkyl which is substituted by (C₃-C₈)-cycloalkyl or represents (C₃-C₁₀)-cycloalkyl which may be substituted up to two times by (C₁-C₄)-alkyl,

and R¹, R², R³, R⁴, R⁵, R⁶, R⁷, R⁹ and R¹⁰ are each as defined in Claim 1.

20

9. Compounds of the formula (I) as defined in Claim 1 in which

R⁸ represents a group of the formula -NR²⁰-C(O)-R²¹ where

25

R²⁰ represents hydrogen or (C₁-C₆)-alkyl,

and

30

R²¹ represents (C₁-C₈)-alkoxy, (C₁-C₈)-alkyl, (C₆-C₁₀)-aryl or represents (C₃-C₁₀)-cycloalkyl which may be substituted up to two times by (C₁-C₄)-alkyl,

and $R^1, R^2, R^3, R^4, R^5, R^6, R^7, R^9$ and R^{10} are each as defined in Claim 1.

10. Compounds of the formula (I) as defined in Claim 1 in which

5 R^8 represents a group of the formula $-NR^{22}-C(O)-NR^{23}R^{24}$ where

R^{22} represents hydrogen or (C_1-C_6) -alkyl,

and

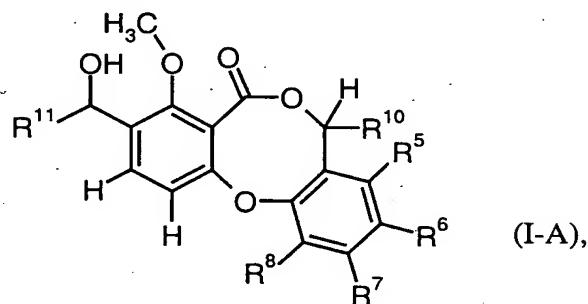
10

R^{23} and R^{24} independently of one another represent hydrogen, (C_1-C_6) -alkyl or (C_3-C_{10}) -cycloalkyl,

and $R^1, R^2, R^3, R^4, R^5, R^6, R^7, R^9$ and R^{10} are each as defined in Claim 1.

15

11. Compounds of the formula (I-A)

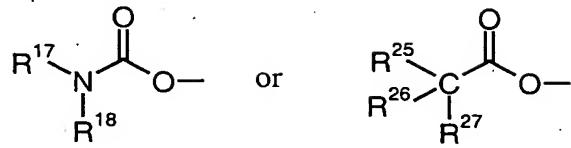


in which

20

R^5 , R^6 and R^7 independently of one another represent hydrogen, fluorine, chlorine, bromine, cyano or represent methyl or ethyl which may be substituted by methoxy or up to three times by fluorine,

25 R^8 represents a group of the formula



where

5

R^{17} and R^{18} independently of one another represent hydrogen, (C_1-C_6)-alkyl which may be substituted up to three times by fluorine, represent (C_3-C_6)-alkenyl or represent (C_3-C_6)-cycloalkyl,

10

or

together with the nitrogen atom to which they are attached form a 4- to 10-membered mono-, bi- or tricyclic saturated or partially unsaturated heterocycle which may contain an oxygen atom as further heteroatom and which may be substituted up to four times by methyl,

15

R^{25} and R^{26} together with the carbon atom to which they are attached represent (C_3-C_{10})-cycloalkyl which may be substituted up to four times by substituents selected from the group consisting of fluorine, methyl and trifluoromethyl, represent (C_5-C_{10})-cycloalkenyl which may be substituted up to two times by methyl or represent a 5- to 7-membered saturated or partially saturated mono- or bicyclic heterocycle having a ring oxygen atom,

20

25

and

R^{27} represents hydrogen, (C_1-C_4)-alkyl, cyano or trifluoromethyl,

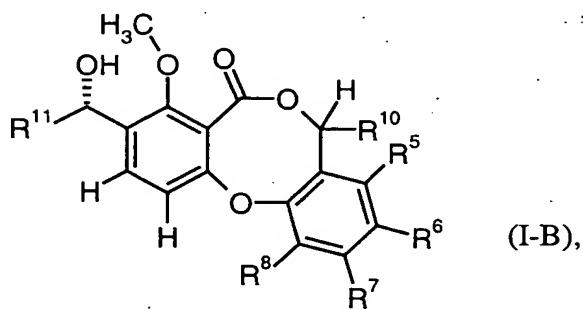
R^{10} represents hydrogen, methyl or ethyl,

and

5

R^{11} represents (C_1 - C_6)-alkyl or (C_2 - C_6)-alkenyl, each of which may be mono- to trisubstituted by substituents selected from the group consisting of cyclopropyl, cyclobutyl, methoxy and fluorine.

10 12. Compounds of the formula (I-B)

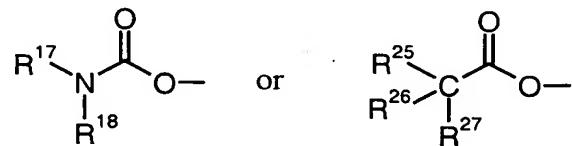


in which

15 R^5 , R^6 and R^7 independently of one another represent hydrogen, fluorine, chlorine, bromine, cyano or represent methyl or ethyl which may be substituted by methoxy or up to three times by fluorine,

R^8 represents a group of the formula

20



where

R¹⁷ and R¹⁸ independently of one another represent (C₁-C₆)-alkyl which may be substituted up to three times by fluorine, represent (C₃-C₆)-alkenyl or represent (C₃-C₆)-cycloalkyl,

5

or

10

together with the nitrogen atom to which they are attached form a 4- to 10-membered saturated mono- or bicyclic heterocycle which may contain an oxygen atom as further heteroatom and which may be substituted up to two times by methyl,

15

R²⁵ and R²⁶ together with the carbon atom to which they are attached represent (C₃-C₁₀)-cycloalkyl which may be substituted up to four times by substituents selected from the group consisting of fluorine, methyl and trifluoromethyl, represent (C₅-C₇)-cycloalkenyl, 7-oxabicyclo[2.2.1]heptanyl or represent 7-oxabicyclo[2.2.1]hept-5-enyl,

20

and

25

R²⁷ represents methyl, ethyl, propyl, cyano or trifluoromethyl,

R¹⁰

represents hydrogen, methyl or ethyl

and

30

R¹¹

represents (C₁-C₆)-alkyl or (C₂-C₆)-alkenyl, each of which may be mono- to trisubstituted by substituents selected from the group consisting of cyclopropyl, cyclobutyl, methoxy and fluorine.

13. Use of compounds of the formulae (I), (I-A) and (I-B) as defined in Claims 6 to 12 for preparing medicaments for the treatment and/or prevention of disorders controlled by inhibition of the cholesterol ester transfer protein (CETP).

5

14. Use of compounds of the formulae (I), (I-A) and (I-B) as defined in Claims 6 to 12 for the treatment and/or prevention of disorders controlled by inhibition of the cholesterol ester transfer protein (CETP).

10

15. Compounds of the formulae (I), (I-A) and (I-B) as defined in Claims 6 to 12, for the treatment and/or prevention of disorders controlled by inhibition of the cholesterol ester transfer protein (CETP).

15

16. Use according to Claim 13 or 14 for the treatment and/or prevention of cardiovascular disorders.

17. Use according to Claim 16 for the treatment and/or prevention of hypolipoproteinaemia, dyslipidaemias, hypertriglyceridaemias, hyperlipidaemias and/or arteriosclerosis.

20

18. Medicaments, comprising a compound of the formula (I), (I-A) or (I-B) as defined in Claims 1 to 12, for the treatment and/or prevention of disorders controlled by inhibition of the cholesterol ester transfer protein (CETP).